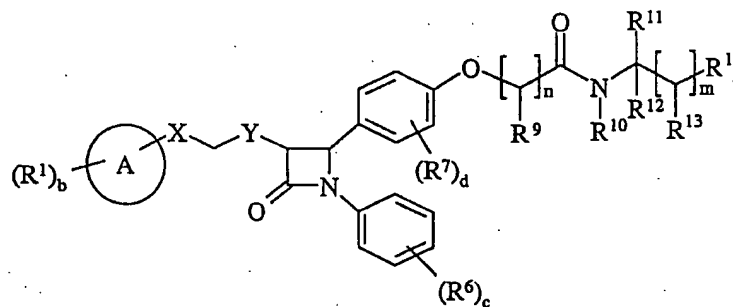


Claims

1. A compound of formula (I):



(I)

wherein:

Ring A is selected from phenyl or thienyl;

X is selected from $-\text{CR}^2\text{R}^3-$, $-\text{O}-$, $-\text{NR}^x-$ and $-\text{S}(\text{O})_a-$; wherein R^x is hydrogen or C_{1-6} alkyl, and a is 0-2;

- 10 Y is selected from $-\text{CR}^4\text{R}^5-$, $-\text{O}-$, $-\text{NR}^z-$ and $-\text{S}(\text{O})_a-$; wherein R^z is hydrogen or C_{1-6} alkyl, and a is 0-2; wherein there is at least one $-\text{CR}^2\text{R}^3-$ or $-\text{CR}^4\text{R}^5-$ group;

R^1 is independently selected from halo, hydroxy, C_{1-6} alkyl, C_{1-6} alkoxy and C_{1-6} alkyl $\text{S}(\text{O})_a$ wherein a is 0 to 2; wherein R^1 is independently optionally substituted on carbon by one or more halo, C_{1-6} alkoxy and hydroxy;

- 15 b is 0-3; wherein the values of R^1 may be the same or different;

R^2 and R^3 are independently selected from hydrogen, hydroxy, C_{1-6} alkyl, C_{1-6} alkoxy and C_{1-6} alkanoyloxy; wherein R^2 and R^3 may be independently optionally substituted on carbon by one or more halo or hydroxy; or R^2 and R^3 together form an oxo group;

- R^4 and R^5 are independently selected from hydrogen, hydroxy, C_{1-6} alkyl, C_{1-6} alkoxy and C_{1-6} alkanoyloxy; or R^4 and R^5 together form an oxo group;

- 20 R^6 is independently selected from halo, nitro, cyano, hydroxy, amino, carboxy, formyl, carbamoyl, carbamoyloxy, mercapto, sulphamoyl, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkenyloxy, C_{2-6} alkynyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, C_{1-6} alkanoyloxy, N -(C_{1-6} alkyl)amino, N,N -(C_{1-6} alkyl) $_2$ amino, C_{1-6} alkanoylamino, C_{1-6} alkanoyl- N -(C_{1-6} alkyl)amino, C_{1-6} alkylsulphonylamino, C_{1-6} alkylsulphonyl- N -(C_{1-6} alkyl)amino, N -(C_{1-6} alkyl)carbamoyl, N,N -(C_{1-6} alkyl) $_2$ carbamoyl, N -(C_{1-6} alkyl)carbamoyloxy, N,N -(C_{1-6} alkyl) $_2$ carbamoyloxy, C_{1-6} alkyl $\text{S}(\text{O})_a$ wherein a is 0 to 2, C_{1-6} alkoxycarbonyl, C_{1-6} alkoxycarbonylamino,

C₁₋₆alkoxycarbonyl-*N*-(C₁₋₆alkyl)amino, C₁₋₆alkoxycarbonyloxy, C₁₋₆alkoxycarbonylamino, ureido, *N'*-(C₁₋₆alkyl)ureido, *N*-(C₁₋₆alkyl)ureido, *N',N'*-(C₁₋₆alkyl)₂ureido, *N'*-(C₁₋₆alkyl)-*N*-(C₁₋₆alkyl)ureido, *N',N'*-(C₁₋₆alkyl)₂-*N*-(C₁₋₆alkyl)ureido, *N*-(C₁₋₆alkyl)sulphamoyl, *N,N*-(C₁₋₆alkyl)₂sulphamoyl and phenyl; wherein R⁷ is

- 5 independently optionally substituted on carbon by one or more halo, C₁₋₆alkoxy, hydroxy, amino, carboxy, C₁₋₆alkoxycarbonyl, carbamoyl, *N*-(C₁₋₆alkyl)carbamoyl, *N,N*-(C₁₋₆alkyl)₂carbamoyl, C₁₋₆alkanoylamino, C₁₋₆alkanoyl-*N*-(C₁₋₆alkyl)amino, phenyl, phenoxy, benzoyl, phenylC₁₋₆alkyl and phenylC₁₋₆alkoxy;

c is 0-5; wherein the values of R⁶ may be the same or different;

- 10 R⁷ is independently selected from halo, hydroxy, cyano, carbamoyl, ureido, amino, nitro, carboxy, carbamoyl, mercapto, sulphamoyl, trifluoromethyl, trifluoromethoxy, methyl, ethyl, methoxy, ethoxy, vinyl, allyl, ethynyl, methoxycarbonyl, formyl, acetyl, formamido, acetylamino, acetoxymethyl, methylamino, dimethylamino, *N*-methylcarbamoyl, *N,N*-dimethylcarbamoyl, methylthio, methylsulphinyl, mesyl, *N*-methylsulphamoyl and
- 15 *N,N*-dimethylsulphamoyl;

d is 0-4; wherein the values of R⁷ may be the same or different;

R⁹ is hydrogen, C₁₋₄alkyl, carbocyclyl or heterocyclyl; wherein R⁹ may be optionally substituted on carbon by one or more substituents selected from R²³; and wherein if said heterocyclyl contains an -NH- group, that nitrogen may be optionally substituted by a group

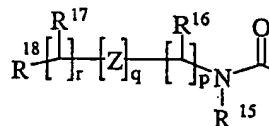
- 20 selected from R²⁴;

R¹⁰ is hydrogen or C₁₋₄alkyl;

- R¹¹ and R¹² are independently selected from hydrogen, C₁₋₄alkyl, carbocyclyl or heterocyclyl; or R¹¹ and R¹² together form C₂₋₆alkylene; wherein R¹¹ and R¹² or R¹¹ and R¹² together may be independently optionally substituted on carbon by one or more substituents
- 25 selected from R²⁵; and wherein if said heterocyclyl contains an -NH- moiety, that nitrogen may be optionally substituted by one or more R²⁶;

- R¹³ is hydrogen, C₁₋₄alkyl, carbocyclyl or heterocyclyl; wherein R¹³ may be optionally substituted on carbon by one or more substituents selected from R²⁷; and wherein if said heterocyclyl contains an -NH- moiety, that nitrogen may be optionally substituted by one or
- 30 more R²⁸;

R¹⁴ is hydrogen, halo, nitro, cyano, hydroxy, amino, carbamoyl, mercapto, sulphamoyl, hydroxyaminocarbonyl, C₁₋₁₀alkyl, C₂₋₁₀alkenyl, C₂₋₁₀alkynyl, C₁₋₁₀alkoxy, C₁₋₁₀alkoxycarbonyl, C₁₋₁₀alkanoyl, C₁₋₁₀alkanoyloxy, *N*-(C₁₋₁₀alkyl)amino,



(IA)

Z is $-N(R^{35})-$, $-N(R^{35})C(O)-$, $-O-$, and $-S(O)_a-$; wherein a is 0-2 and R^{35} is hydrogen or C_{1-4} alkyl;

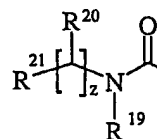
R¹⁶ and R¹⁷ are independently selected from hydrogen, halo, nitro, cyano, hydroxy,

20 amino, carboxy, carbamoyl, mercapto, sulphamoyl, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₁₋₆alkoxy, C₁₋₆alkanoyl, C₁₋₆alkanoyloxy, N-(C₁₋₆alkyl)amino, N,N-(C₁₋₆alkyl)₂amino, C₁₋₆alkanoylamino, N-(C₁₋₆alkyl)carbamoyl, N,N-(C₁₋₆alkyl)₂carbamoyl, C₁₋₆alkylS(O)_a wherein a is 0 to 2, C₁₋₆alkoxycarbonyl, N-(C₁₋₆alkyl)sulphamoyl, N,N-(C₁₋₆alkyl)₂sulphamoyl, carbocyclyl, heterocyclyl, sulpo, sulphino, amidino, phosphono,
25 -P(O)(OR³⁶)(OR³⁷), -P(O)(OH)(OR³⁶), -P(O)(OH)(R³⁶) or -P(O)(OR³⁶)(R³⁷), wherein R³⁶ and R³⁷ are independently selected from C₁₋₆alkyl; wherein R¹⁶ and R¹⁷ may be independently optionally substituted on carbon by one or more substituents selected from R³⁸; and wherein if said heterocyclyl contains an -NH- group, that nitrogen may be optionally substituted by a group selected from R³⁹;

30 **R¹⁸** is selected from hydrogen, halo, nitro, cyano, hydroxy, amino, carbamoyl, mercapto, sulphamoyl, hydroxyaminocarbonyl, C₁₋₁₀alkyl, C₂₋₁₀alkenyl, C₂₋₁₀alkynyl,

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- C₁₋₁₀alkoxy, C₁₋₁₀alkanoyl, C₁₋₁₀alkanoyloxy, *N*-(C₁₋₁₀alkyl)amino, *N,N*-(C₁₋₁₀alkyl)₂amino, C₁₋₁₀alkanoylamino, *N*-(C₁₋₁₀alkyl)carbamoyl, C₁₋₁₀alkoxycarbonyl, *N,N*-(C₁₋₁₀alkyl)₂carbamoyl, C₁₋₁₀alkylS(O)_a wherein a is 0 to 2, *N*-(C₁₋₁₀alkyl)sulphamoyl, *N,N*-(C₁₋₁₀alkyl)₂sulphamoyl, *N*-(C₁₋₁₀alkyl)sulphamoylamino,
- 5 *N,N*-(C₁₋₁₀alkyl)₂sulphamoylamino, carbocyclyl, carbocyclylC₁₋₁₀alkyl, heterocyclyl, heterocyclylC₁₋₁₀alkyl, carbocyclyl-(C₁₋₁₀alkylene)_e-R⁴⁰-(C₁₋₁₀alkylene)_f or heterocyclyl-(C₁₋₁₀alkylene)_g-R⁴¹-(C₁₋₁₀alkylene)_h, carboxy, sulfo, sulphino, phosphono, -P(O)(OR⁴²)(OR⁴³), -P(O)(OH)(OR⁴²), -P(O)(OH)(R⁴²) or -P(O)(OR⁴²)(R⁴³) wherein R⁴² and R⁴³ are independently selected from C₁₋₆alkyl; wherein R¹⁸ may be optionally substituted on
- 10 carbon by one or more substituents selected from R⁴⁴; and wherein if said heterocyclyl contains an -NH- group, that nitrogen may be optionally substituted by a group selected from R⁴⁵; or R¹⁸ is a group of formula (IB):



(IB)

- 15 wherein:

R¹⁹ is selected from hydrogen or C₁₋₄alkyl;

- R²⁰ is selected from hydrogen, halo, nitro, cyano, hydroxy, amino, carboxy, carbamoyl, mercapto, sulphamoyl, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₁₋₆alkoxy, C₁₋₆alkanoyl, C₁₋₆alkanoyloxy, *N*-(C₁₋₆alkyl)amino, *N,N*-(C₁₋₆alkyl)₂amino,
- 20 C₁₋₆alkanoylamino, *N*-(C₁₋₆alkyl)carbamoyl, *N,N*-(C₁₋₆alkyl)₂carbamoyl, C₁₋₆alkylS(O)_a wherein a is 0 to 2, C₁₋₆alkoxycarbonyl, *N*-(C₁₋₆alkyl)sulphamoyl, *N,N*-(C₁₋₆alkyl)₂sulphamoyl, carbocyclyl, heterocyclyl, sulfo, sulphino, amidino, phosphono, -P(O)(OR⁴⁶)(OR⁴⁷), -P(O)(OH)(OR⁴⁶), -P(O)(OH)(R⁴⁶) or -P(O)(OR⁴⁶)(R⁴⁷), wherein R⁴⁶ and R⁴⁷ are independently selected from C₁₋₆alkyl; where R²⁰ may be independently optionally
- 25 substituted on carbon by one or more substituents selected from R⁴⁸; and wherein if said heterocyclyl contains an -NH- group, that nitrogen may be optionally substituted by a group selected from R⁴⁹;
- R²¹ is selected from halo, nitro, cyano, hydroxy, amino, carbamoyl, mercapto, sulphamoyl, hydroxyaminocarbonyl, C₁₋₁₀alkyl, C₂₋₁₀alkenyl, C₂₋₁₀alkynyl, C₁₋₁₀alkoxy,
- 30 C₁₋₁₀alkoxycarbonyl, C₁₋₁₀alkanoyl, C₁₋₁₀alkanoyloxy, *N*-(C₁₋₁₀alkyl)amino, *N,N*-(C₁₋₁₀alkyl)₂amino, *N,N,N*-(C₁₋₁₀alkyl)₃ammonio, C₁₋₁₀alkanoylamino,

- N*-(C₁₋₁₀alkyl)carbamoyl, *N,N*-(C₁₋₁₀alkyl)₂carbamoyl, C₁₋₁₀alkylS(O)_a wherein a is 0 to 2, *N*-(C₁₋₁₀alkyl)sulphamoyl, *N,N*-(C₁₋₁₀alkyl)₂sulphamoyl, *N*-(C₁₋₁₀alkyl)sulphamoylamino, *N,N*-(C₁₋₁₀alkyl)₂sulphamoylamino, C₁₋₁₀alkoxycarbonylamino, carbocyclyl, carbocyclylC₁₋₁₀alkyl, heterocyclyl, heterocyclylC₁₋₁₀alkyl,
- 5 carbocyclyl-(C₁₋₁₀alkylene)_e-R⁵⁰-(C₁₋₁₀alkylene)_f, heterocyclyl-(C₁₋₁₀alkylene)_g-R⁵¹-(C₁₋₁₀alkylene)_h, carboxy, sulphy, sulphino, phosphono, -P(O)(OR⁵²)(OR⁵³), -P(O)(OH)(OR⁵²), -P(O)(OH)(R⁵²) or -P(O)(OR⁵³)(R⁵³) wherein R⁵² and R⁵³ are independently selected from C₁₋₆alkyl; wherein R²¹ may be independently optionally substituted on carbon by one or more R⁵⁴; and wherein if said heterocyclyl contains an -NH-
- 10 group, that nitrogen may be optionally substituted by a group selected from R⁵⁵;
- p** is 1-3; wherein the values of R¹⁶ may be the same or different;
- q** is 0-1;
- r** is 0-3; wherein the values of R¹⁷ may be the same or different;
- m** is 0-2; wherein the values of R¹³ may be the same or different;
- 15 **n** is 1-2; wherein the values of R⁹ may be the same or different;
- z** is 0-3; wherein the values of R²⁰ may be the same or different;
- R²³, R²⁵, R²⁷, R³³, R³⁸, R⁴⁴, R⁴⁸ and R⁵⁴ are independently selected from halo, nitro, cyano, hydroxy, amino, carbamoyl, mercapto, sulphamoyl, hydroxyaminocarbonyl, C₁₋₁₀alkyl, C₂₋₁₀alkenyl, C₂₋₁₀alkynyl, C₁₋₁₀alkoxy, C₁₋₁₀alkanoyl, C₁₋₁₀alkanoyloxy,
- 20 C₁₋₁₀alkoxycarbonyl, *N*-(C₁₋₁₀alkyl)amino, *N,N*-(C₁₋₁₀alkyl)₂amino, *N,N,N*-(C₁₋₁₀alkyl)₃ammonio, C₁₋₁₀alkanoylamino, *N*-(C₁₋₁₀alkyl)carbamoyl, *N,N*-(C₁₋₁₀alkyl)₂carbamoyl, C₁₋₁₀alkylS(O)_a wherein a is 0 to 2, *N*-(C₁₋₁₀alkyl)sulphamoyl, *N,N*-(C₁₋₁₀alkyl)₂sulphamoyl, *N*-(C₁₋₁₀alkyl)sulphamoylamino, *N,N*-(C₁₋₁₀alkyl)₂sulphamoylamino, C₁₋₁₀alkoxycarbonylamino, carbocyclyl,
- 25 carbocyclylC₁₋₁₀alkyl, heterocyclyl, heterocyclylC₁₋₁₀alkyl, carbocyclyl-(C₁₋₁₀alkylene)_e-R⁵⁶-(C₁₋₁₀alkylene)_f, heterocyclyl-(C₁₋₁₀alkylene)_g-R⁵⁷-(C₁₋₁₀alkylene)_h, carboxy, sulphy, sulphino, amidino, phosphono, -P(O)(OR⁵⁸)(OR⁵⁹), -P(O)(OH)(OR⁵⁸), -P(O)(OH)(R⁵⁸) or -P(O)(OR⁵⁹)(R⁵⁹), wherein R⁵⁸ and R⁵⁹ are independently selected from C₁₋₆alkyl; wherein R²³, R²⁵, R²⁷, R³³,
- 30 R³⁸, R⁴⁴, R⁴⁸ and R⁵⁴ may be independently optionally substituted on carbon by one or more R⁶⁰; and wherein if said heterocyclyl contains an -NH- group, that nitrogen may be optionally substituted by a group selected from R⁶¹;

R^{24} , R^{26} , R^{28} , R^{34} , R^{39} , R^{45} , R^{49} , R^{55} and R^{61} are independently selected from C_{1-6} alkyl, C_{1-6} alkanoyl, C_{1-6} alkylsulphonyl, sulphamoyl, N -(C_{1-6} alkyl)sulphamoyl, N,N -(C_{1-6} alkyl)₂sulphamoyl, C_{1-6} alkoxycarbonyl, carbamoyl, N -(C_{1-6} alkyl)carbamoyl, N,N -(C_{1-6} alkyl)₂carbamoyl, benzyl, phenethyl, benzoyl, phenylsulphonyl and phenyl;

5 R^{29} , R^{30} , R^{40} , R^{41} , R^{50} , R^{51} , R^{56} and R^{57} are independently selected from -O-, -NR⁶²-, -S(O)_x-, -NR⁶²C(O)NR⁶³-, -NR⁶²C(S)NR⁶³-, -OC(O)N=C-, -NR⁶²C(O)- or -C(O)NR⁶²-; wherein R^{62} and R^{63} are independently selected from hydrogen or C_{1-6} alkyl, and x is 0-2;

R^{60} is selected from halo, hydroxy, cyano, carbamoyl, ureido, amino, nitro, carboxy, carbamoyl, mercapto, sulphamoyl, trifluoromethyl, trifluoromethoxy, methyl, ethyl, methoxy, 10 ethoxy, vinyl, allyl, ethynyl, methoxycarbonyl, formyl, acetyl, formamido, acetylamino, acetoxymethyl, methylamino, dimethylamino, N -methylcarbamoyl, N,N -dimethylcarbamoyl, methylthio, methylsulphinyl, mesyl, N -methylsulphamoyl and N,N -dimethylsulphamoyl; and

e, f, g and h are independently selected from 0-2;

or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

15

2. A compound of formula (I) according to claim 1 wherein X is selected from -CH₂-, -CH(OH)-, -C(O)-, -O-, -S-, -S(O)- and -S(O)₂-; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

20 3. A compound of formula (I) according to either of claims 1 or 2 wherein Y is -CH₂-, -S- or -S(O)-; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

4. A compound of formula (I) according to any one of claims 1 to 3 wherein R^1 is halo; 25 or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

5. A compound of formula (I) according to any one of claims 1 to 4 wherein b is 0-1; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

30 6. A compound of formula (I) according to any one of claims 1 to 5 wherein R^6 is halo; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

7. A compound of formula (I) according to any one of claims 1 to 6 wherein c is 0-1; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.
8. A compound of formula (I) according to any one of claims 1 to 7 wherein d is 0; or a
5 pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.
9. A compound of formula (I) according to any one of claims 1 to 8 wherein R⁹ is hydrogen; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.
- 10
10. A compound of formula (I) according to any one of claims 1 to 9 wherein R¹⁰ is hydrogen; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.
- 15 11. A compound of formula (I) according to any one of claims 1 to 10 wherein R¹¹ and R¹² are independently selected from hydrogen, C₁₋₄alkyl or carbocyclyl; wherein R¹¹ and R¹² may be independently optionally substituted on carbon by one or more substituents selected from R²⁵; wherein R²⁵ is selected from hydroxy, amino, carbamoyl, C₁₋₁₀alkoxycarbonyl, C₁₋₁₀alkoxycarbonylamino, carbocyclyl or carboxy; wherein R²⁵ may be optionally substituted
20 on carbon by one or more R⁶⁰; wherein R⁶⁰ is hydroxy; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.
12. A compound of formula (I) according to any one of claims 1 to 11 wherein R¹³ is hydrogen; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug
25 thereof.
13. A compound of formula (I) according to any one of claims 1 to 12 wherein R¹⁴ is hydroxy, C₁₋₁₀alkyl, C₁₋₁₀alkoxy, C₁₋₁₀alkoxycarbonyl, carboxy or sulfo; wherein R¹⁴ may be optionally substituted on carbon by one or more substituents selected from R³³; or R¹⁴ is a
30 group of formula (IA) (as depicted above) wherein:
- R¹⁵ is hydrogen;
- R¹⁶ and R¹⁷ are independently selected from hydrogen, carboxy, C₁₋₆alkyl and C₁₋₆alkoxycarbonyl;

R^{18} is selected from hydroxy, C_{1-10} alkyl, C_{1-10} alkoxy, C_{1-10} alkoxycarbonyl, carboxy and sulpho;

p is 1;

q is 0;

5 r is 0 or 1;

m is 0 or 1;

n is 1; and

R^{33} is hydroxy;

or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

10

14. A compound of formula (I) according to any one of claims 1 to 13 wherein m is 0 or 1; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

15. A compound of formula (I) according to any one of claims 1 to 14 wherein n is 1; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

16. A compound of formula (I) (as depicted in claim 1) wherein:

Ring A is selected from phenyl or thienyl;

X is selected from $-CH_2-$, $-CH(OH)-$, $-C(O)-$, $-O-$, $-S-$, $-S(O)-$ and $-S(O)_2-$;

20 Y is $-CH_2-$, $-S-$ or $-S(O)-$;

R^1 is fluoro;

b is 0-1;

R^6 is fluoro;

c is 0-1;

25 d is 0;

R^9 is hydrogen;

R^{10} is hydrogen;

One of R^{11} and R^{12} is hydrogen and the other is selected from hydrogen, methyl, hydroxymethyl, 2-carbamoyl ethyl, 2-(ethoxycarbonyl)ethyl, 2-carboxyethyl, 4-(*t*-butoxycarbonylamino)butyl, 4-aminobutyl, isobutyl, phenyl, 4-hydroxyphenyl and 4-hydroxybenzyl;

30 R^{13} is hydrogen;

R¹⁴ is hydroxy, pentyl, methoxy, ethoxycarbonyl, *t*-butoxycarbonyl, carboxy or sulpho; wherein R¹⁴ may be optionally substituted on carbon by one or more substituents selected from R³³; or R¹⁴ is a group of formula (IA) (as depicted above) wherein:

R¹⁵ is hydrogen;

5 R¹⁶ and R¹⁷ are independently selected from hydrogen, carboxy, C₁₋₆alkyl and *t*-butoxycarbonyl;

R¹⁸ is selected from hydroxy, methyl, *t*-butoxy, ethoxycarbonyl, *t*-butoxycarbonyl, carboxy and sulpho;

p is 1;

10 q is 0;

r is 0 or 1;

m is 0 or 1;

n is 1; and

R³³ is hydroxy;

15 or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

17. A compound of formula (I) (as depicted in claim 1) selected from:

1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-{4-[*N*-(R)- α -(*N*-(S)-[1-(carboxy)-2-(hydroxy)ethyl]carbonyl]benzyl]carbonylmethoxy]phenyl}azetidin-2-one;

20 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-{*N*-(R)- α -(carboxy)benzyl]carbonylmethoxy}phenyl)azetidin-2-one;

1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-{4-[*N*-(carboxymethyl)carbonylmethoxy]phenyl}azetidin-2-one;

25 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-{*N*-(*N*-(carboxymethyl)carbonylmethyl]carbonylmethoxy}phenyl)azetidin-2-one;

1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-{4-[*N*-(2-hydroxyethyl)carbonylmethoxy]phenyl}azetidin-2-one;

1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-{4-[*N*-(2-methoxyethyl)carbonylmethoxy]phenyl}azetidin-2-one;

30 3-(R)-4-(R)-1-(phenyl)-3-(4-fluorobenzoylmethylsulphanyl)-4-{4-[*N*-(carboxymethyl)carbonylmethoxy]phenyl}azetidin-2-one;

3-(R)-4-(R)-1-(phenyl)-3-[2-(4-fluorophenyl)-2-hydroxyethylsulphanyl]-4-{4-[*N*-(carboxymethyl)carbonylmethoxy]phenyl}azetidin-2-one;

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3-(R)-4-(R)-1-(phenyl)-3-[2-(thien-3-yl)-2-hydroxyethylsulphanyl]-4-{4-[N-(carboxymethyl) carbamoylmethoxy]phenyl}azetidin-2-one;

3-(R)-4-(R)-1-(phenyl)-3-[2-(thien-3-yl)-2-hydroxyethylsulphanyl]-4-{4-[N-((R)- α -{N-[(S)-1-(carboxy)-2-(hydroxy)ethyl]carbamoyl}benzyl)carbamoylmethoxy]phenyl}azetidin-2-one;

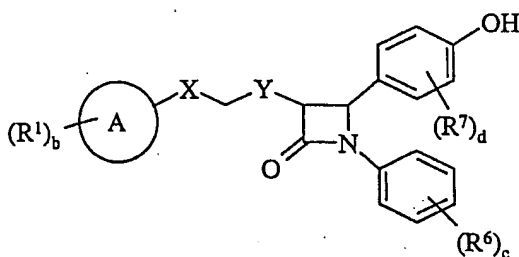
5 3-(R)-4-(R)-1-(phenyl)-3-(4-fluorobenzoylmethylsulphanyl)-4-{4-[N-((R)- α -{N-[(S)-1-(carboxy)-2-(hydroxy)ethyl]carbamoyl}benzyl)carbamoylmethoxy]phenyl}azetidin-2-one;
and

3-(R)-4-(R)-1-(phenyl)-3-[2-(4-fluorophenyl)-2-hydroxyethylsulphanyl]-4-{4-[N-((R)- α -{N-[(S)-1-(carboxy)-2-(hydroxy)ethyl]carbamoyl}benzyl)carbamoylmethoxy]phenyl}azetidin-2-
10 one;

or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

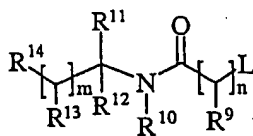
18. A process for preparing a compound of formula (I) or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof which process (wherein variable
15 groups are, unless otherwise specified, as defined in claim 1) comprises of:

Process 1) reacting a compound of formula (II):



(II)

with a compound of formula (III):



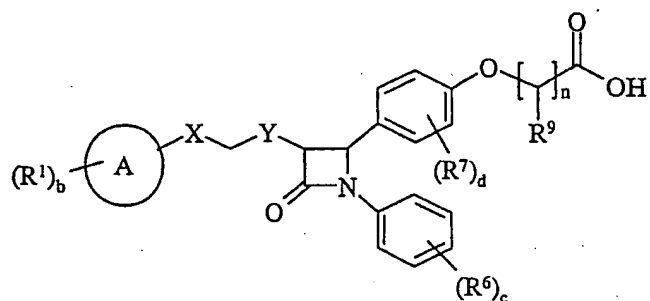
(III)

wherein L is a displaceable group;

Process 2) reacting an acid of formula (IV):

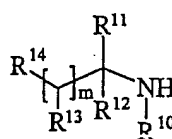
20

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(IV)

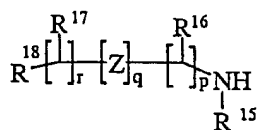
or an activated derivative thereof; with an amine of formula (V):



(V)

5

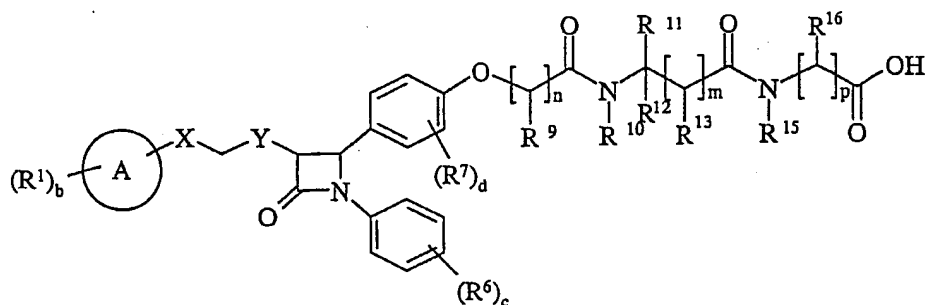
Process 3): for compounds of formula (I) wherein R^{14} is a group of formula (IA); reacting a compound of formula (VI) wherein R^{14} is carboxy, or an activated derivative thereof, with an amine of formula (VI):



(VI)

10

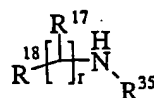
Process 4): for compounds of formula (I) wherein R^{14} is a group of formula (IA), Z is $-N(R^{35})C(O)-$ and q is 1; reacting an acid of formula (VII):



(VII)

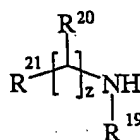
15 or an activated derivative thereof; with an amine of formula (VIII):

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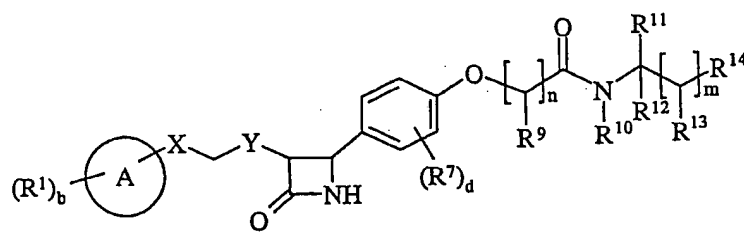
(VIII)

Process 5): for compounds of formula (I) wherein R^{14} is a group of formula (IA) and R^{18} is a group of formula (IB); reacting an acid of formula (I) wherein R^{14} is a group of formula (IA) and R^{18} is carboxy, or an activated derivative thereof, with an amine of formula (IX)



(IX)

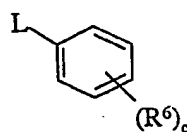
Process 6): reacting a compound of formula (X):



(X)

10

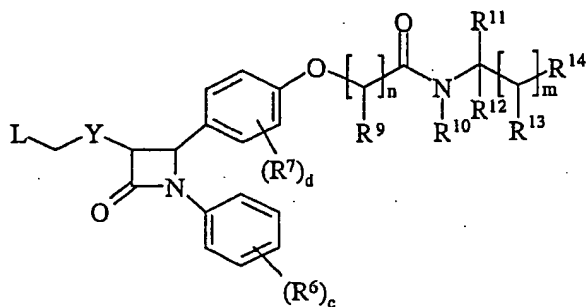
with a compound of formula (XI):



(XI)

wherein L is a displaceable group;

15 Process 7): for compounds of formula (I) wherein X is selected from -O-, -NR^x- and -S(O)_a- wherein a is 0; reacting a compound of formula (XII):



(XII)

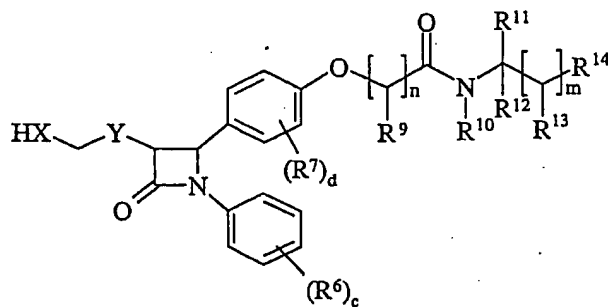
wherein L is a displaceable group; with a compound of formula (XIII):



(XIII)

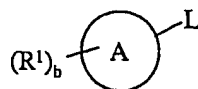
5

Process 8): for compounds of formula (I) wherein X is selected from -O-, -NR^x- and -S(O)_a- wherein a is 0; reacting a compound of formula (XIV):



(XIV)

10 with a compound of formula (XV):

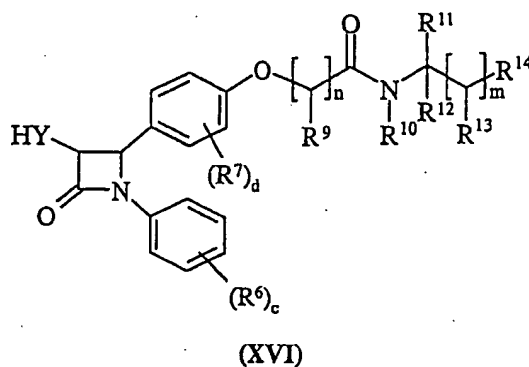


(XV)

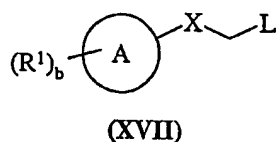
wherein L is a displaceable group;

Process 9): for compounds of formula (I) wherein Y is selected from -O-, -NR^z- and -S(O)_a-

15 wherein a is 0; reacting a compound of formula (XVI):



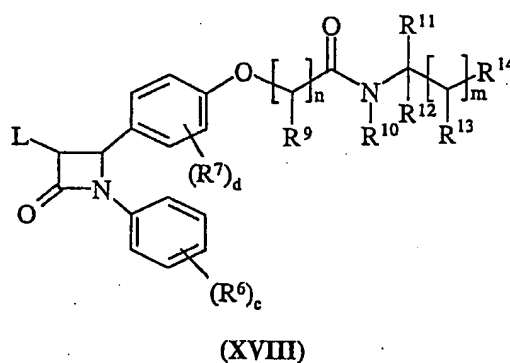
with a compound of formula (XVII):



5

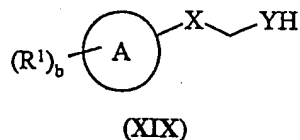
wherein L is a displaceable group;

Process 10): for compounds of formula (I) wherein Y is selected from -O-, -NR^z- and -S(O)_a- wherein a is 0; reacting a compound of formula (XVIII):



10

wherein L is a displaceable group; with a compound of formula (XIX):



Process 11): for compounds of formula (I) wherein X or Y is -S(O)_a- and a is 1 or 2;

15 oxidizing a compound of formula (I) wherein X or Y is -S(O)_a- and a is 0 (for compounds of formula (I) wherein a is 1 or 2) or a is 1 (for compounds of formula (I) wherein a is 2);

and thereafter if necessary or desirable:

- i) converting a compound of the formula (I) into another compound of the formula (I);
- ii) removing any protecting groups;
- iii) forming a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug; or
- iv) separating two or more enantiomers.

5

19. A pharmaceutical composition which comprises a compound of formula (I), or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16, in association with a pharmaceutically-acceptable diluent or carrier.

10

20. A compound of the formula (I), or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16, for use in a method of prophylactic or therapeutic treatment of a warm-blooded animal, such as man.

15 21. A compound of the formula (I), or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16, for use as a medicament.

22. The use of a compound of the formula (I), or a pharmaceutically acceptable salt,
20 solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16, in the production of a cholesterol absorption inhibitory effect in a warm-blooded animal, such as man.

23. The use of a compound of the formula (I), or a pharmaceutically acceptable salt,
25 solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16, in the treatment of hyperlipidaemic conditions in a warm-blooded animal, such as man.

24. The use of a compound of the formula (I), or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16, in
30 the manufacture of a medicament for use in the production of a cholesterol absorption inhibitory effect in a warm-blooded animal, such as man.

25. The use of a compound of the formula (I), or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16, in the manufacture of a medicament for use in the treatment of hyperlipidaemic conditions in a warm-blooded animal, such as man.
- 5
26. A method for producing a cholesterol absorption inhibitory effect in a warm-blooded animal, such as man, in need of such treatment which comprises administering to said animal an effective amount of a compound of formula (I), or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16.
- 10
27. A method of treating hyperlipidaemic conditions in a warm-blooded animal, such as man, in need of such treatment which comprises administering to said animal an effective amount of a compound of formula (I), or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16.
- 15
28. A combination of a compound of formula (I), or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16, and an HMG Co-A reductase inhibitor, or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.
- 20
29. A combination according to claim 28 wherein the HMG Co-A reductase inhibitors is selected from fluvastatin, lovastatin, pravastatin, simvastatin, atorvastatin, cerivastatin, bervastatin, dalvastatin, pitvastatin, mevastatin and rosuvastatin, or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.
- 25
30. A pharmaceutical composition which comprises a combination according to either of claims 28 or 29, in association with a pharmaceutically acceptable diluent or carrier.
31. The use of a combination according to either of claims 28 or 29, in the production of a
- 30 cholesterol lowering effect in a warm-blooded animal, such as man.
32. The use of a combination according to either of claims 28 or 29, in the treatment of hyperlipidaemic conditions in a warm-blooded animal, such as man.

33. The use of a combination according to either of claims 28 or 29, in the manufacture of a medicament for use in the production of a cholesterol lowering effect.

34. The use of a combination according to either of claims 28 or 29, in the manufacture of
5 a medicament for use in the treatment of hyperlipidaemic conditions.

35. A method for producing a cholesterol absorption inhibitory effect in a warm-blooded animal, such as man, in need of such treatment which comprises administering to said animal an effective amount of a combination according to either of claims 28 or 29.

10

36. A method of treating hyperlipidaemic conditions in a warm-blooded animal, such as man, in need of such treatment which comprises administering to said animal an effective amount of a combination according to either of claims 28 or 29.

15